CLAIMS

1. A process for the separation of enantiomers comprising at least one free functional group, in which

(a) a mixture comprising the enantiomers is reacted in basic medium with a reagent based on an enantiopure amino acid, in which reagent at least one amino group of the amino acid carries an activating group, in order to form an active precursor of an isocyanate group, and in which reagent at least one carboxyl group of the amino acid is substituted, and

(b) the mixture of diastereomers obtained is subjected to a separation operation.

2. The process according to Claim 1, in which the carboxyl group of the amino acid is substituted with a hydrophilic substituent and/or a substituent comprising at least one chromophore.

3. The process according to Claim 2, in which the hydrophilic substituent in the reagent is a 2-methoxyethyl group.

4. The process according to Claim 1, in which the activating group in the reagent is a (4-nitrophenyloxy)carbonyl group.

5. The process according to Claim 1, in which the reagent is based on an enantiopure amino acid selected from the group consisting of alanine, valine, norvaline, leucine, norleucine, isoleucine, serine, isoserine, homoserine, threonine, allothreonine, methionine, ethionine, glutamic acid, aspartic acid, asparagine, cysteine, cystine, phenylalanine, tyrosine, tryptophan, lysine, arginine, histidine, ornithine, glutamine, citrulline, (1-naphthyl)alanine, (2-naphthyl)alanine, homophenylalanine, (4-chloro-phenyl)alanine, (4-fluorophenyl)alanine, (3-pyridyl)alanine, phenylglycine, diaminopimelic acid (2,6-diaminoheptane-1,7-dioic acid), 2-aminobutyric acid, 2-aminotetralin-2-carboxylic acid, erythro-β-methylphenylalanine, threo-β-methylphenylalanine, (2-methoxyphenyl)alanine, 1-amino-5-hydroxy-

indan-2-carboxylic acid, 2-aminoheptane-1,7-dioic acid, (2,6-dimethyl-4-hydroxyphenyl)alanine, erythro-β-methyltyrosine and threo-β-methyltyrosine.

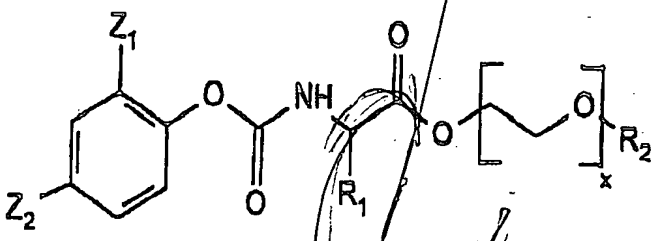
6. The process according to Claim 1, in which the mixture of enantiomers is reacted with the reagent at room temperature for a period of time of less than or equal to 15 minutes and the mixture of diastereomers obtained is subjected to the separation operation without prior purification.

7. The process according to Claim 1, in which the separation operation is HPLC chromatography.

8. A reagent based on an enantiopure amino acid in which at least one amino group of the amino acid carries an activating group in order to form an active precursor of an isocyanate or isothiocyanate group and in which at least one carboxyl group of the amino acid is substituted.

9. The reagent according to Claim 8, in which at least one amino group of the enantiopure amino acid carries an activating group in order to form an active precursor of an isocyanate group.

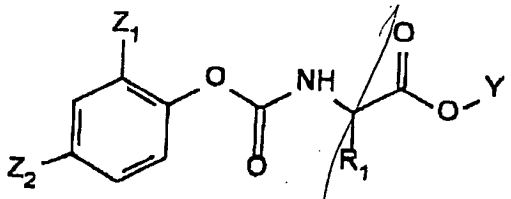
10. The reagent according to Claim 9 corresponding to the general formula (I)



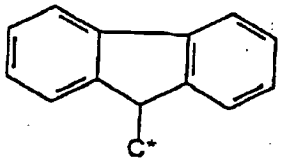
(I)

in which Z_1 and/or Z_2 = NO_2, R_1 = phenyl, α- or β-indolyl, 1-naphthyl or 2-naphthyl, R_2 = Me, Et, C_3-C_6 alkyl or C_3-C_6 cycloalkyl, and x represents an integer from 1 to 5.

11. The reagent according to Claim 9, comprising at least one chromophore, corresponding to the general formula (II)



(II)



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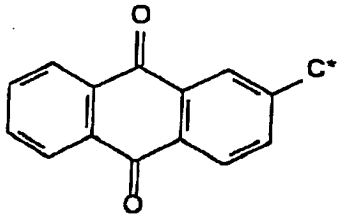
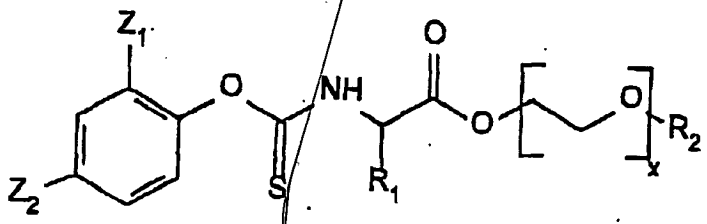


(IV)

(V)

in which Z_1 and/or Z_2 = NO_2, R_1 = phenyl, α- or β-indolyl, 1-naphthyl or 2-naphthyl and Y corresponds to any one of the formulae (III to V), the carbon by which Y is bonded to the oxygen of the carboxyl group of the enantiopure amino acid being marked by *.

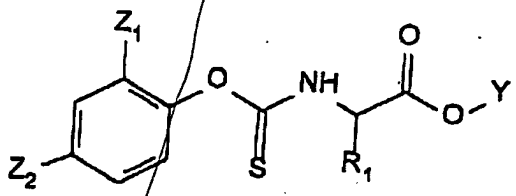
12. The reagent according to Claim 8 corresponding to the general formula (VI)



(VI)

in which Z_1 and/or Z_2 = NO_2 or F, R_1 = phenyl, α- or β-indolyl, 1-naphthyl or 2-naphthyl, R_2 = Me, Et, C_3-C_6 alkyl or C_3-C_6 cycloalkyl and x represents an integer from 1 to 5.

13. The reagent according to Claim 8 comprising at least one chromophore, corresponding to the general formula (VII)



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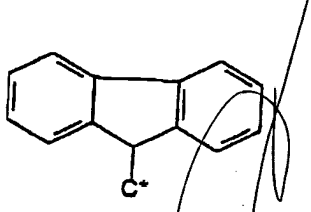

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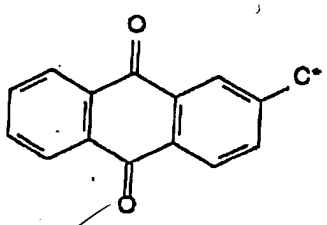

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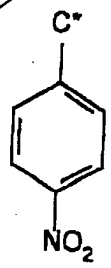

(V)

in which Z_1 and/or Z_2 = NO_2, R_1 = phenyl, α- or β-indolyl, 1-naphthyl or 2-naphthyl and Y corresponds to any one of the formulae (III to V), the carbon by which Y is bonded to the oxygen of the carboxyl group of the enantiopure amino acid being marked by *.

14. A solution of the reagent according to Claim 8 in a polar organic solvent.

15. A method for the derivatization and separation of enantiomers of organic compounds comprising at least one free functional group wherein the solution

according to Claim 14 is used for the derivatization in an automatic device.

16. A process for the production of an enantiopure compound comprising at least one free functional group in which:

(a) a mixture comprising the enantiomers of the compound comprising at least one free functional group is subjected to the separation process according to the invention

(b) a cleavage operation is carried out on a pure diastereomer obtained by separation of the mixture of diastereomers

(c) the enantiopure compound is recovered.

Add A1 Add B2 — Add C1